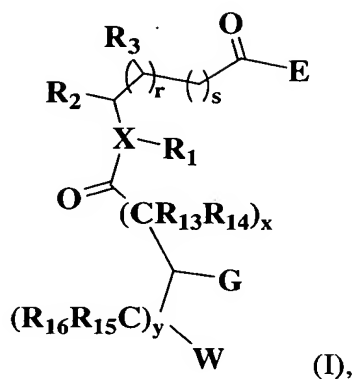


CLAIMS

- 5 1. A compound of formula (I),



or a pharmaceutically-acceptable salt, hydrate, or prodrug thereof, in which:

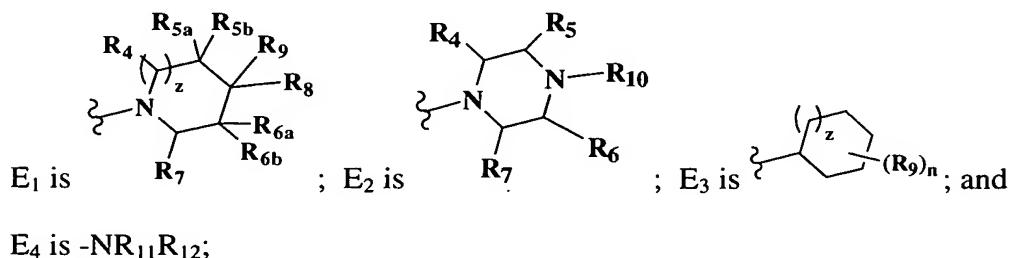
- 10 X is N or CH;

R_1 is hydrogen or C_{1-6} alkyl or is taken together with R_2 or R_3 to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

- R_2 is hydrogen, aryl, cycloalkyl, heteroaryl, or heterocyclo; or C_{1-6} alkyl or C_{2-6} alkenyl optionally substituted with one to three of hydroxy, alkoxy, halogen, cyano, trifluoromethyl, nitro, amino, alkylamino, aryl, cycloalkyl, heteroaryl, and/or heterocyclo; or R_2 is taken together with R_1 or R_3 to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

R_3 is hydrogen or C_{1-6} alkyl or is taken together with R_2 to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

- 20 E is E_1 , E_2 , E_3 or E_4 , wherein



G is selected from C₂₋₆alkenyl, A₃-aryl, -OR₁₈, heteroaryl, A₁-cyano, A₂-OR₁₇,

A₁-C(=O)R₁₈, A₁-CO₂R₁₈, A₁-C(=O)NR₁₈R₁₉, A₁-OC(=O)R₁₈,

A₁-NR₁₈C(=O)R₁₉, A₁-OC(=O)NR₁₈R₁₉, A₁-NR₁₈CO₂R₁₉, A₁-NR₁₈SO₂R₁₇,

A₁-SO₂R₁₇, A₁-NR₂₀C(=O)NR₁₈R₁₉, and A₁-SR₁₈; or when y is 0, or when W is

a group other than NHR₂₂, G may be A₁-heterocyclo, wherein A₁ is a bond, C₁-

6alkylene or C₂₋₆alkenylene (straight or branched chain), A₂ is C₁₋₆alkylene or C₂₋

6alkenylene, and A₃ is C₂₋₆alkenylene;

W is selected from -NR₂₁R₂₂, -OR₂₃, -NR₂₁C(=O)R₂₄, -NR₂₁CO₂R₂₄, amidino,

guanidino, or a substituted or unsubstituted heterocyclo, heteroaryl, or cycloalkyl

selected from azepinyl, azetidiny, imidazolyl, imidazolidinyl, pyrazolyl, pyridyl,

pyrazinyl, pyridazinyl, 1,2-dihydropyridazinyl, pyranyl, tetrahydropyranly,

piperazinyl, homopiperazinyl, pyrrolyl, pyrrolidinyl, piperidinyl, thiazolyl,

tetrahydrothiazolyl, thienyl, furyl, tetrahydrofuryl, morpholinyl, isoquinolinyl,

tetrahydroisoquinolinyl, tetrazolyl, oxazolyl, tetrahydro-oxazolyl, and C₃₋

7cycloalkyl, wherein said heteroaryl, heterocyclo or cycloalkyl groups may

additionally have joined thereto an optionally substituted five-to-seven membered,

heterocyclic, heteroaryl, or carbocyclic ring;

R₄ and R₇ are independently selected from hydrogen, alkyl, substituted alkyl, halogen, hydroxy, alkoxy, and keto;

R₅, R_{5a}, R_{5b}, R₆, R_{6a}, R_{6b}, R₈ and R₉ are independently hydrogen, halogen, cyano, alkyl,

substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclo, aryl, heteroaryl,

-OR₂₅, -NR₂₅R₂₆, -SR₂₅, -S(O)_pR₂₆, -C(=O)R₂₅, -OC(=O)R₂₅, -CO₂R₂₅,

-C(=O)NR₂₅R₂₆, -NR₂₅C(=O)R₂₆, -OC(=O)NR₂₅R₂₆, -NR₂₅CO₂R₂₆,

-NR₂₇C(=O)NR₂₅R₂₆ or -NR₂₅SO₂R₂₆; or R_{5a} and R_{5b}, R_{6a} and R_{6b}, or R₈ and R₉

taken together form a keto group (=O) or a monocyclic or bicyclic cycloalkyl or

heterocyclo joined in a spiro fashion to ring E, or alternatively, R_{5a} and/or R_{5b}

together with R₈ and/or R₉, or R_{6a} and/or R_{6b} together with R₈ and/or R₉, are taken

to form a fused carbocyclic, heterocyclic, or heteroaryl ring; provided that, when

G is a C₁₋₆alkyl substituted with -OR₁₇, -CO₂R₁₈, or -C(=O)NR₁₈R₁₉, then R_{5a},

R_{5b}, R_{6a}, and R_{6b} are hydrogen;

R₁₀ is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl, and heterocyclo;

R₁₁ is hydrogen or C₁₋₈alkyl;

R₁₂ is C₁₋₈alkyl, substituted C₁₋₈alkyl, or cycloalkyl;

5 R₁₃, R₁₄, R₁₅ and R₁₆ are selected independently of each other from hydrogen, alkyl, substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclo, or R₁₃ and R₁₄, or R₁₅ and R₁₆, when attached to the same carbon atom, may join to form a spirocycloalkyl ring;

R₁₇ is alkyl, substituted alkyl, cycloalkyl, aryl, heterocyclo, or heteroaryl;

10 R₁₈, R₁₉, and R₂₀ are independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, heterocyclo, or C(=O)R₂₈; or when G is NH(C=O)R₁₉, R₁₉ may be a bond joined to W to define a heterocyclo ring; provided, however, that when y is at least one, W is imidazolyl, indolyl, -NR₂₁R₂₂, or -OR₂₃, and G is -NR₁₈C(=O)R₁₉, then R₁₉ is not a C₁-alkyl
15 having the substituent -NR₂₉R₃₁;

R₂₁ and R₂₂ are selected from hydrogen, alkyl, and substituted alkyl;

R₂₃ and R₂₄ are independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclo, and cycloalkyl;

R₂₅, R₂₆ and R₂₇ are independently hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, 20 heterocyclo, or heteroaryl; or R₂₅ and R₂₆ may join together to form a heterocyclo or heteroaryl, except R₂₆ is not hydrogen when joined to a sulfonyl group as in -S(O)_pR₂₆ or -NR₂₅SO₂R₂₆;

R₂₈ is hydrogen, alkyl, or substituted alkyl;

R₂₉ and R₃₁ are selected from hydrogen, alkyl, haloalkyl, hydroxyalkyl, phenylalkyl, and 25 alkoxy carbonylalkyl, or R₂₉ and R₃₁ taken together form a heterocyclo ring;

n is 0, 1, 2, 3 or 4;

p is 1, 2, or 3;

r and s are 0 or 1;

x is 0, 1, or 2;

y is 0, 1, 2, 3 or 4; and

z is 0, 1, or 2.

2. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which:

G is selected from:

a) C₂₋₄alkenyl optionally substituted with phenyl;

b) -CO₂R₁₈, -C(=O)NR₁₈R₁₉, -NR₁₈C(=O)R₁₉, and -SO₂R₁₇,

c) C₁₋₆alkylene or C₂₋₆alkenylene joined to one of cyano, -OR₁₇, -C(=O)R₁₈, -CO₂R₁₈, -C(=O)NR₁₈R₁₉, -NR₁₈C(=O)R₁₉, -NR₁₈CO₂R₁₉, -NR₁₈SO₂R₁₇, -SO₂R₁₇, -NR₂₀C(=O)NR₁₈R₁₉, and -SR₁₈;

d) when y is 0, or when W is a group other than NHR₂₂, G also may be selected from optionally substituted pyrrolidinyl or piperidinyl;

R₁₇ is C₁₋₄alkyl, C₅₋₆cycloalkyl, phenyl or benzyl;

R₁₈, R₁₉, and R₂₀ are independently selected from hydrogen, C₁₋₄alkyl, phenyl, benzyl, C₅₋₆cycloalkyl, -C(=O)CH₂(phenyloxy), -C(=O)CH₂(benzyloxy), imidazolyl, pyridyl, furyl, thienyl, or C₁₋₄alkyl or C₂₋₄alkenyl substituted with one of phenyl, pyridyl, furyl, cyclopentyl, cyclohexyl, CO₂Me, phenyloxy, or benzyloxy, wherein each ringed group of R₁₈, R₁₉, and R₂₀ in turn is optionally substituted with one to two R₃₆, and/or optionally has a benzene ring or five membered heterocyclo having two oxygen atoms fused thereto; and

R₃₆ is halogen, methoxy, nitro, phenyl, phenyloxy, or alkylamino.

3. A compound according to claim 2, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

G is $-NR_{18}C(=O)R_{19}$,

R_{18} is hydrogen or lower alkyl, and

R_{19} is C_{1-4} alkyl, C_{2-4} alkenyl, phenyl, benzyl, C_{5-6} cycloalkyl, -

$C(=O)CH_2$ (phenyloxy), $-C(=O)CH_2$ (benzyloxy), imidazolyl, pyridyl,

furyl, thienyl, or C_{1-4} alkyl or C_{2-4} alkenyl substituted with one of phenyl,

phenyl, pyridyl, furyl, cyclopentyl, cyclohexyl, CO_2Me , phenyloxy, and

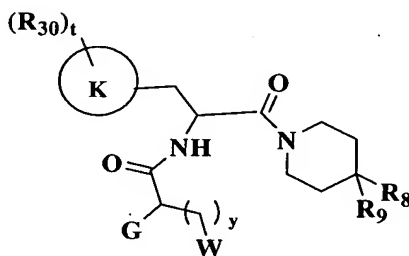
benzyloxy, wherein each ringed group of R_{19} in turn is optionally

substituted with one to two R_{36} , and/or optionally has a benzene ring or

five membered heterocycle having two oxygen atoms fused thereto.

4. A compound according to claim 2, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which W is OH, $-NH_2$, $-NH$ alkyl, $-N(alkyl)_2$, azetidiny, imidazolyl, piperidinyl, pyrrolidinyl, or $NHCO_2(alkyl)$; or a C_{4-7} cycloalkyl optionally substituted with lower alkyl, $-NH_2$, $-NH$ alkyl, or $-N(alkyl)_2$.

5. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, having the formula:



in which

K is phenyl or thiazolyl;

R_{30} is selected from C_{1-4} alkyl, hydroxy, alkoxy, halogen, nitro, cyano, amino, alkylamino, phenyl, and $-C(=O)$ phenyl;

t is 0, 1 or 2; and

y is 0, 1 or 2.

6. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

W is OH, $-NR_{21}R_{22}$, $-NHC(=O)R_{24}$, or $-NHCO_2\text{alkyl}$;

5 R_{21} and R_{22} are independently selected from hydrogen, $C_{1-8}\text{alkyl}$, and $(CH_2)_q\text{-J}$, wherein J is selected from naphthyl, furanyl, indolyl, imidazolyl, pyrimidinyl, benzothienyl, pyridinyl, pyrrolyl, pyrrolidinyl, thienyl, and $C_{3-7}\text{cycloalkyl}$, wherein the alkyl, alkylene, and/or J groups of R_{21} and/or R_{22} are optionally substituted with up to three R_{33} ;

10 R_{24} is selected from $C_{1-6}\text{alkyl}$, trifluoromethyl, alkoxyalkyl, furylalkyl, alkylaminoethyl, phenyl, pyrrolylalkyl, piperidinyl, and piperidinylalkyl, wherein R_{24} in turn is optionally substituted with one to two $C_{1-4}\text{alkyl}$ and/or $-CO_2(C_{1-4}\text{alkyl})$;

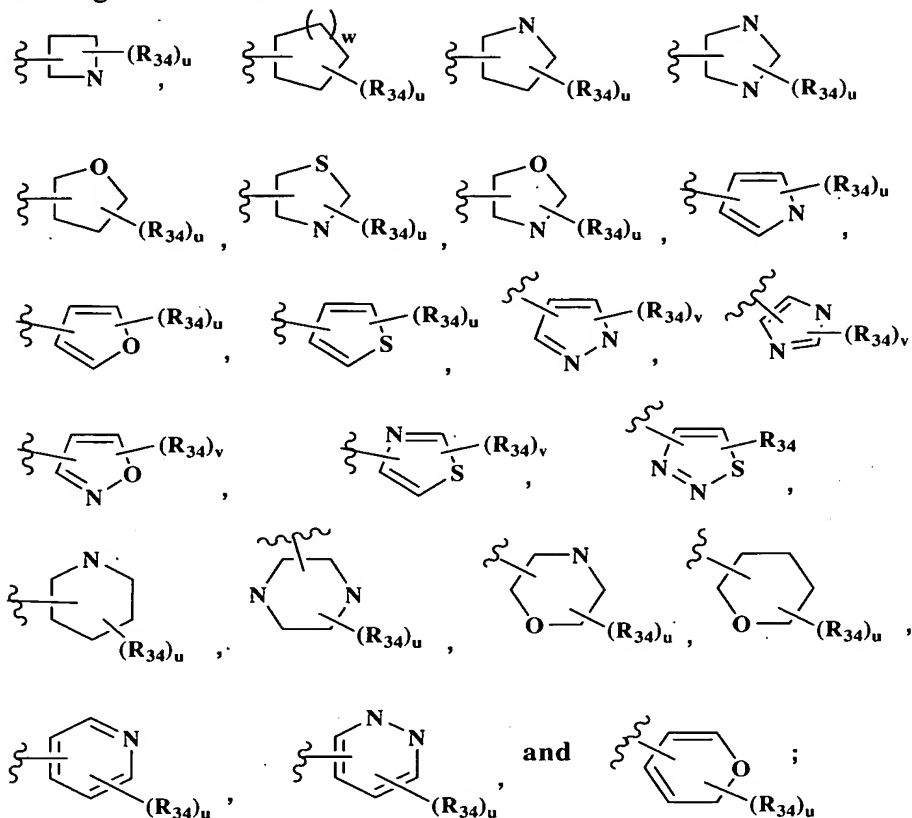
R_{33} is selected from $C_{1-6}\text{alkyl}$, hydroxy, $C_{1-4}\text{alkoxy}$, amino, $C_{1-4}\text{alkylamino}$, amino $C_{1-4}\text{alkyl}$, trifluoromethyl, halogen, phenyl, benzyl, phenyloxy, benzyloxy,
15 $-C(=O)(CH_2)NH_2$, $-CO_2(C_{1-4}\text{alkyl})$, $-SO_2(C_{1-4}\text{alkyl})$, tetrazolyl, piperidinyl, pyridinyl, and indolyl, wherein when R_{33} includes a ring, said ring in turn is optionally substituted with one to two $C_{1-4}\text{alkyl}$, hydroxy, methoxy, and/or halogen; and

q is 0, 1, 2 or 3.

20

7. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

W is a ring selected from:



R_{34} at each occurrence is attached to any available carbon or nitrogen atom of W and is

- 5 selected from C_{1-6} alkyl, halogen, amino, aminoalkyl, alkylamino, hydroxy, C_{1-4} alkoxy, hydroxy C_{1-4} alkyl, $-C(=O)$ alkyl, $-C(=O)$ aminoalkyl, $-C(=O)$ phenyl, $-C(=O)$ benzyl, $-CO_2$ alkyl, $-CO_2$ phenyl, $-CO_2$ benzyl, $-SO_2$ alkyl, $-SO_2$ aminoalkyl, $-SO_2$ phenyl, $-SO_2$ benzyl, phenyl, benzyl, phenyloxy, benzyloxy, pyrrolyl, pyrazolyl, piperidinyl, pyridinyl, pyrimidinyl, and tetrazolyl,
- 10 and/or two R_{34} when attached to two adjacent carbon atoms or adjacent carbon and nitrogen atoms may be taken together to form a fused benzo, heterocyclo, or heteroaryl ring, and/or two R_{34} when attached to the same carbon atom (in the case of a non-aromatic ring) may form keto ($=O$), and each R_{34} in turn is optionally substituted with up to two R_{35} ;
- 15 R_{35} is selected from halogen, trifluoromethyl, C_{1-4} alkyl, cyano, nitro, trifluoromethoxy, amino, alkylamino, aminoalkyl, hydroxy, and C_{1-4} alkoxy;

w is selected from 0, 1, or 2;

u is selected from 0, 1, 2, and 3; and

v is 0, 1 or 2.

5

8. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

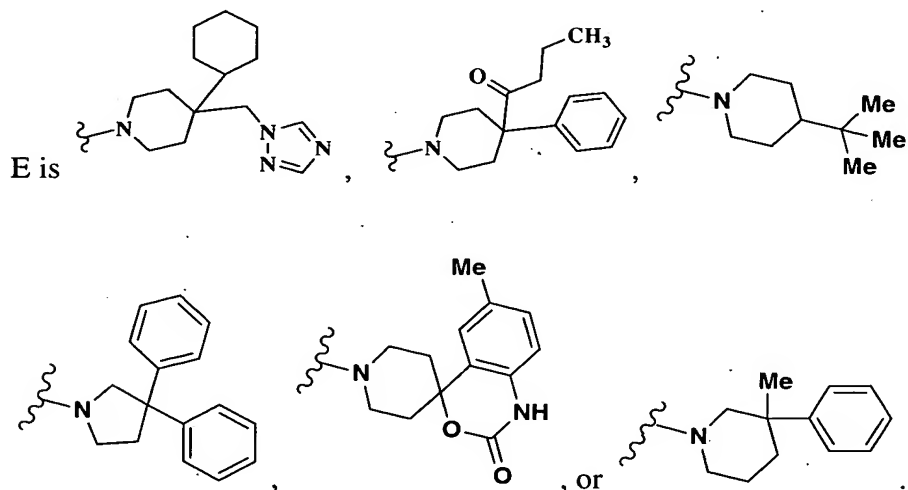
R_8 and R_9 are selected independently from hydrogen, alkyl, $-(CH_2)_j-C(=O)alkyl$, $-(CH_2)_j$ -phenyl, $-(CH_2)_j$ -naphthyl, $-(CH_2)_j$ -C₄₋₇cycloalkyl, $-(CH_2)_j$ -heterocyclo, and $-(CH_2)_j$ -heteroaryl, or R_8 and R_9 together form a spirocycloalkyl or spiroheterocyclic ring; and

10

j is selected from 0, 1, 2 and 3.

9. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

15



20

10. A compound according to claim 1, or a pharmaceutically-acceptable salt thereof, in which

R_2 is selected from hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, biphenyl, C_{2-6} alkenylene-K, and $-(CH_2)_g-K$;

5 K is selected from phenyl, naphthyl, thienyl, thiazolyl, pyridinyl, pyrimidinyl, and C_5 -cycloalkyl, wherein each group K in turn is optionally substituted with one to three R_{30} or has a benzene ring fused thereto, which also may be substituted with one to three R_{30} ;

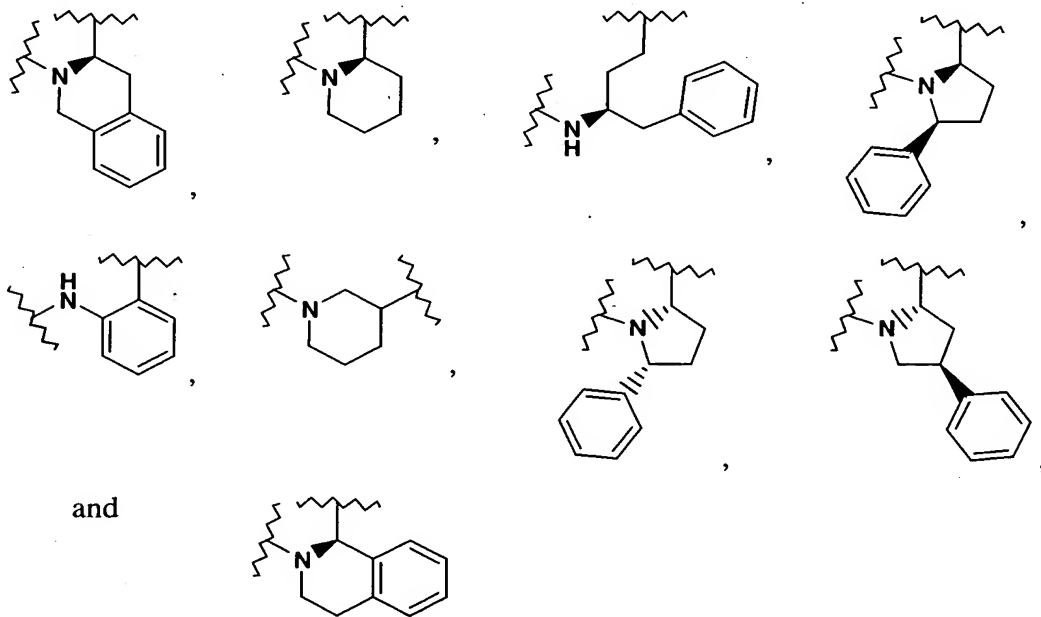
R_{30} is selected from C_{1-4} alkyl, hydroxy, alkoxy, halogen, nitro, cyano, amino, alkylamino, phenyl, and acylphenyl; and

10

g is 0, 1, 2 or 3.

11. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which $-X(R_1)-CH(R_2)-CH(R_3)_r-(CH_2)_s-$, taken together are selected from C_{1-4} alkylene,

15



12. A compound according to claim 1, or a pharmaceutically-acceptable salt thereof, in which

X is N;

R₁ is hydrogen or C₁₋₄alkyl;

5 *r* is 0; and

s is 0.

13. A compound according to claim 1, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which

10 G is C₂₋₄alkenyl, NHC(=O)R₁₉, SO₂R₁₇, or when *y* is 0, G may also be pyrrolidinyl, piperidinyl, pyrrolidinyl(lower alkyl), or piperidinyl(lower alkyl);

W is -NR₂₁R₂₂, NR₂₁C(=O)R₂₄, azetidiny, or imidazolyl;

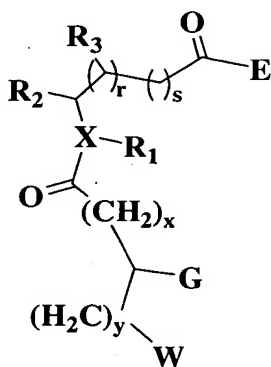
R₁₇ and R₁₉ are lower alkyl, and when W is imidazolyl, R₁₉ may be joined with W to form a heterocycle;

15 R₂₁ and R₂₂ are selected from hydrogen and lower alkyl; and

y is 0, 1, or 2.

14. A compound having the formula,

20



or a pharmaceutically-acceptable salt, hydrate, or prodrug thereof, in which:

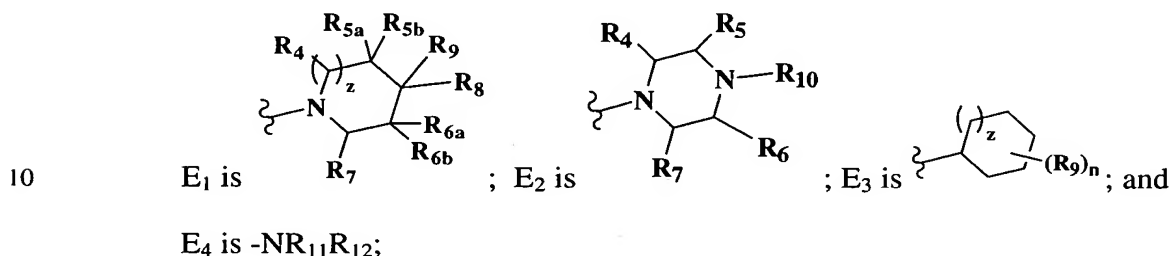
X is N or CH;

R₁ is hydrogen or C₁₋₆alkyl or is taken together with R₂ or R₃ to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

R₂ is hydrogen, aryl, cycloalkyl, heteroaryl, heterocyclo, or C₁₋₆alkyl or C₂₋₆alkenyl optionally substituted with one to three of hydroxy, halogen, aryl, cycloalkyl, heteroaryl, and/or heterocyclo; or R₂ is taken together with R₁ or R₃ to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

R₃ is hydrogen or C₁₋₆alkyl or is joined together with R₂ to form a monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;

E is E₁, E₂, E₃, or E₄, wherein



G is selected from:

a) C₂₋₆alkenyl optionally substituted with phenyl;

b) -OR₁₈, -C(=O)R₁₈, -CO₂R₁₈, -C(=O)NR₁₈R₁₉, -NR₁₈C(=O)R₁₉,
15 -NR₁₈CO₂R₁₉, -NR₁₈SO₂R₁₇, -SO₂R₁₇, -NR₂₀C(=O)NR₁₈R₁₉; and -SR₁₈,

c) C₁₋₆alkyl or C₂₋₆alkenyl (straight or branched chain) substituted with at least one of cyano, -OR₁₇, -C(=O)R₁₈, -CO₂R₁₈, -C(=O)NR₁₈R₁₉,
-NR₁₈C(=O)R₁₉, -NR₁₈CO₂R₁₉, -NR₁₈SO₂R₁₇, -SO₂R₁₇,
-NR₂₀C(=O)NR₁₈R₁₉, and -SR₁₈;

d) when y is 0, G also may be selected from pyrrolidinyl, piperidinyl,
pyrrolidinylalkyl, or piperidinylalkyl;

W is selected from -NR₂₁R₂₂, -OR₂₃, -NR₂₁C(=O)R₂₄, -NR₂₁CO₂R₂₄, amidino,
guanidino, or a substituted or unsubstituted heterocyclo, heteroaryl, or cycloalkyl
group selected from azetidiny, imidazolyl, imidazolidinyl, pyrazolyl, pyridyl,
25 pyrazinyl, pyridazinyl, 1,2-dihydropyridazinyl, pyranyl, tetrahydropyranyl,

piperazinyl, homopiperazinyl, pyrrolyl, pyrrolidinyl, piperidinyl, thiazolyl, tetrahydrothiazolyl, thienyl, furyl, tetrahydrofuryl, morpholinyl, isoquinolinyl, tetrahydroisoquinolinyl, tetrazolyl, oxazolyl, tetrahydro-oxazolyl, and C₃-

cycloalkyl, wherein said heteroaryl, heterocyclo or cycloalkyl groups may additionally have fused thereto an optionally substituted five-to-seven membered heterocyclic, heteroaryl, or carbocyclic ring;

R₄ and R₇ are independently selected from hydrogen, alkyl, substituted alkyl, halogen, hydroxy, alkoxy, and keto;

R₅, R_{5a}, R_{5b}, R₆, R_{6a}, R_{6b}, R₈ and R₉ are independently hydrogen, halogen, cyano, alkyl, substituted alkyl, alkenyl, hydroxy, alkoxy, alkoxycarbonyl, acyl, cycloalkyl, heterocyclo, aryl, or heteroaryl; or R_{5a} and R_{5b}, R_{6a} and R_{6b}, or R₈ and R₉ taken together form a keto group (=O) or a monocyclic or bicyclic cycloalkyl or heterocyclo joined in a spiro fashion to ring E, or alternatively, R_{5a} and/or R_{5b} together with R₈ and/or R₉, or R_{6a} and/or R_{6b} together with R₈ and/or R₉, join together to form a fused benzene or heterocyclo ring; provided that, when G is a C₁₋₆alkyl substituted with -OR₁₇, -CO₂R₁₈, or -C(=O)NR₁₈R₁₉, then R_{5a}, R_{5b}, R_{6a}, and R_{6b} are hydrogen;

R₁₀ is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl, and heterocyclo;

R₁₁ is hydrogen or C₁₋₈alkyl;

R₁₂ is C₁₋₈alkyl, substituted C₁₋₈alkyl, or cycloalkyl;

R₁₇ is alkyl, substituted alkyl, cycloalkyl, aryl, heterocyclo, or heteroaryl;

R₁₈, R₁₉, and R₂₀ are independently selected from hydrogen, alkyl, alkenyl, aryl, heteroaryl, cycloalkyl, heterocyclo, C(=O)R₂₈ or a C₁₋₄alkyl or C₂₋₄alkenyl substituted with one or more of aryl, heteroaryl, cycloalkyl, heterocyclo, alkoxycarbonyl, phenoxy, and/or benzyloxy, and each of said ringed groups of R₁₈, R₁₉, and R₂₀ in turn is optionally substituted with one to two R₃₆;

R₂₁ and R₂₂ are selected from alkyl and substituted alkyl;

R_{23} and R_{24} are independently selected from hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclo, and cycloalkyl;

R_{28} is hydrogen, alkyl, or substituted alkyl;

R_{36} is halogen, methoxy, nitro, phenyl, phenyloxy, or alkylamino;

5 n is 0, 1, 2, 3 or 4;

r and s are 0 or 1;

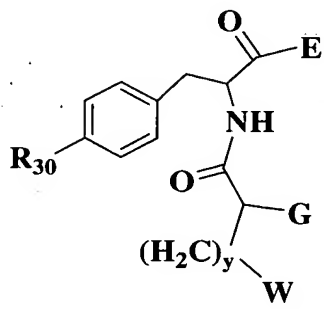
x is 0, 1, or 2;

y is 0, 1, 2, 3 or 4; and

z is 0, 1, or 2.

10

15. A compound according to claim 14, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, having the formula:



15

wherein G is C_{2-4} alkenyl, $NHC(=O)R_{19}$, SO_2R_{17} , or when y is 0, G may also be pyrrolidinyl, piperidinyl, pyrrolidinyl(lower alkyl), or piperidinyl(lower alkyl);

W is OH , $-NH_2$, NH (lower alkyl), N (lower alkyl) $_2$, azetidiny, or imidazolyl, wherein the azetidiny and imidazolyl are optionally substituted with lower alkyl;;

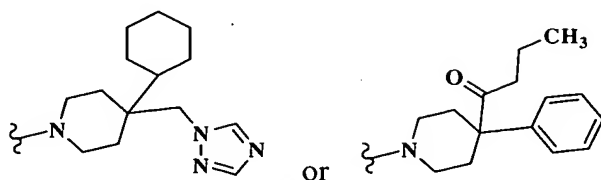
20 R_{17} and R_{19} are lower alkyl or phenyl;

R_{30} is C_{1-4} alkyl, hydroxy, methoxyl, ethoxy, halogen, nitro, cyano, amino, C_{1-4} alkylamino, phenyl, or $C(=O)$ phenyl; and

y is 0, 1, or 2.

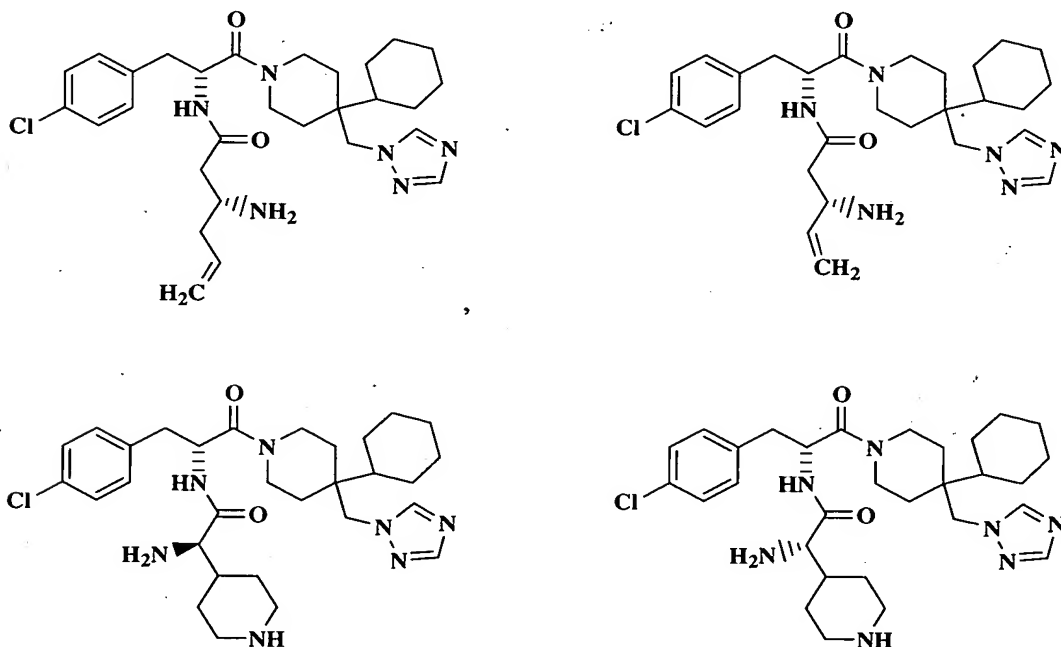
16. A compound according to claim 15, or a pharmaceutically-acceptable salt hydrate, or prodrug thereof, in which E is

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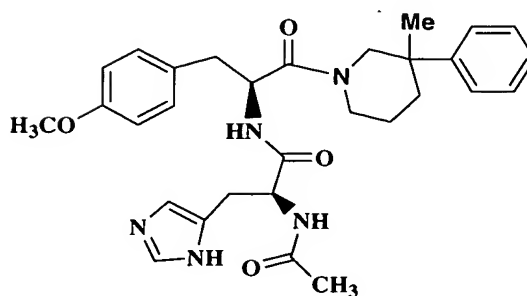
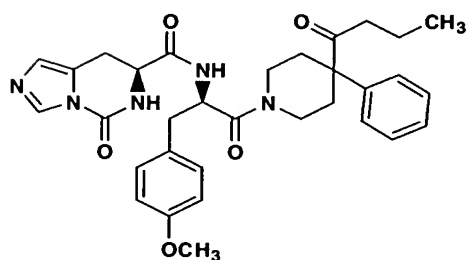
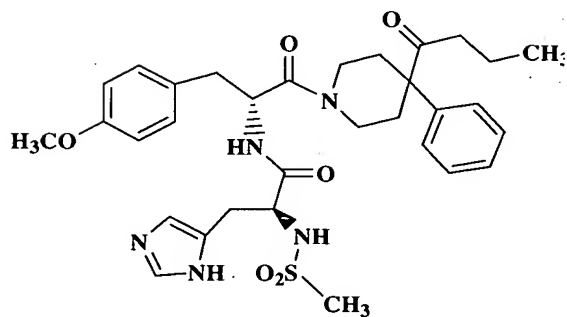
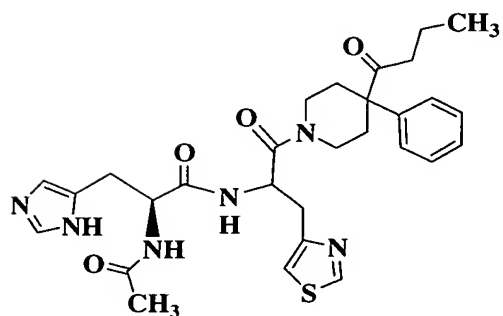
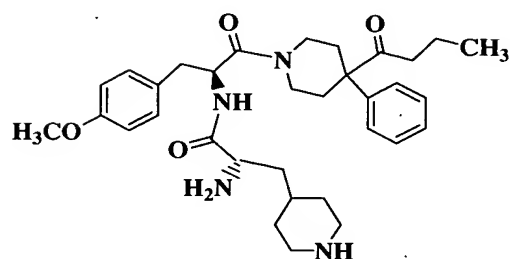
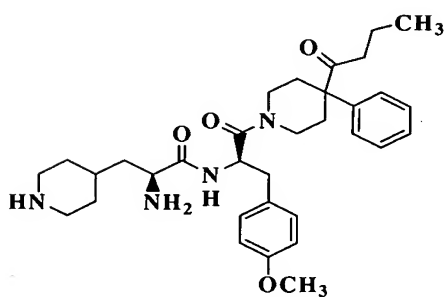
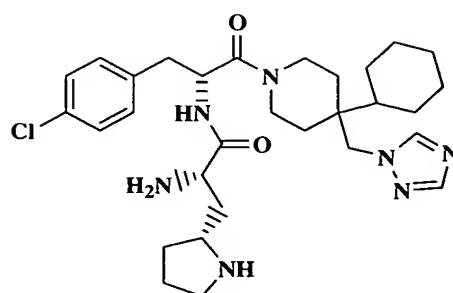
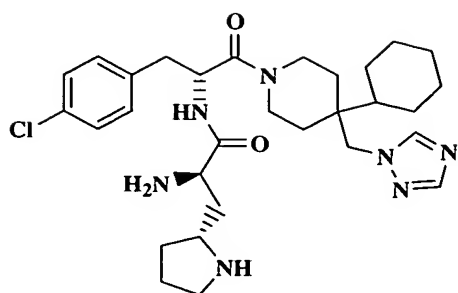


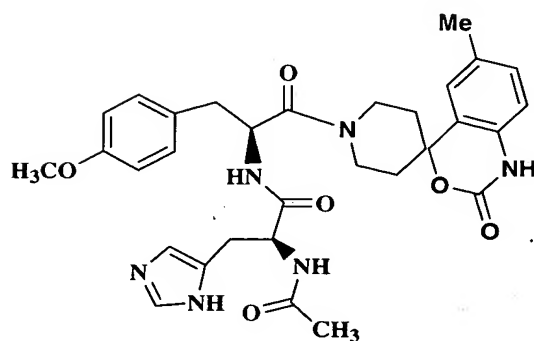
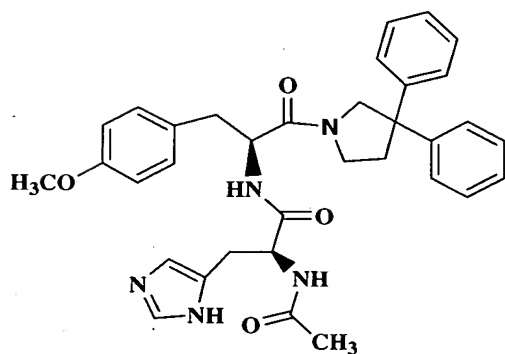
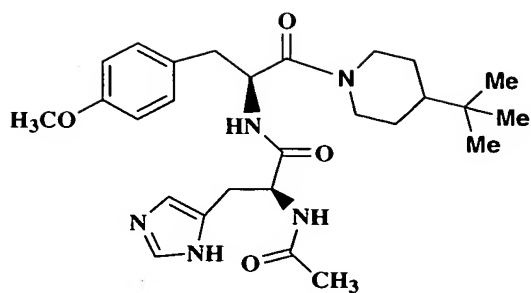
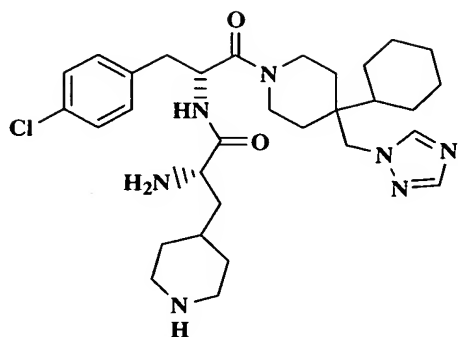
17. A compound according to claim 14, or a pharmaceutically-acceptable salt thereof,
10 in which G is $\text{NHC}(=\text{O})(\text{alkyl})$ or $\text{NHC}(=\text{O})\text{phenyl}$.

18. A compound according to claim 1, having the formula,

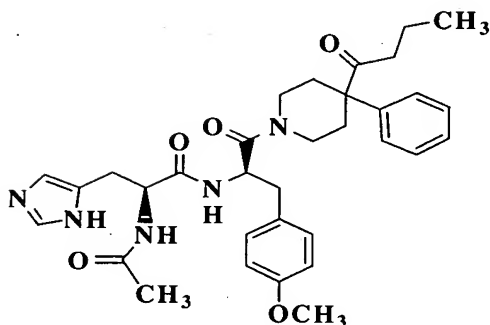


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or



or a pharmaceutically-acceptable salt, hydrate or prodrug thereof.

- 5 19. A pharmaceutical composition comprising at least one compound according to claim 1 or a pharmaceutically-acceptable salt hydrate, or prodrug thereof; and a pharmaceutically-acceptable carrier or diluent.

20. A pharmaceutical composition comprising (i) at least one compound according to claim 1 or a pharmaceutically-acceptable salt hydrate, or prodrug thereof; (ii) at least one second compound effective for treating an inflammatory or immune disease, a cardiovascular disease, or a neurodegenerative condition; and (iii) a pharmaceutically-
5 acceptable carrier or diluent.
21. The pharmaceutical composition according to claim 20 in which the at least one second compound comprises a phosphodiesterase inhibitor.
- 10 22. A method of treating a melanocortin-receptor associated condition, the method comprising administering to a warm-blooded species in need of such treatment a therapeutically-effective amount of at least one compound according to claim 1.
- 15 23. The method of claim 22 in which the melanocortin-receptor associated condition is an MC-1R or MC-4R condition.